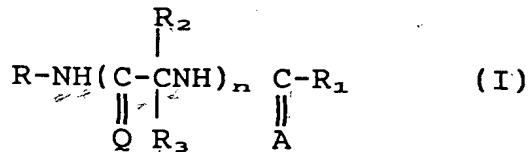


1 WHAT IS CLAIMED IS:

1. A compound of the formula

7216X  
5



or the N-oxide thereof or pharmaceutically acceptable salts thereof wherein

R is aryl, aryl lower alkyl, heterocyclic or 10 heterocyclic lower alkyl, cycloalkyl, lower cycloalkyl, lower alkyl, wherein R is unsubstituted or is substituted with at least one electron withdrawing group or an electron donating group;

R<sub>1</sub> is hydrogen or lower alkyl, lower alkenyl, 15 lower alkynyl, aryl lower alkyl, aryl, heterocyclic lower alkyl, heterocyclic, lower cycloalkyl, lower cylcoalkyl, lower alkyl, and R<sub>1</sub> is unsubstituted or substituted with at least one electron withdrawing substituent or at least one electron donating substituent;

20 R<sub>2</sub> and R<sub>3</sub> are independently hydrogen, lower alkyl, lower alkenyl, lower alkynyl, heterocyclic, heterocyclic lower alkyl, lower alkyl heterocyclic, lower cycloalkyl, lower cycloalkyl lower alkyl, SO<sub>3</sub><sup>-</sup> or Z-Y wherein R<sub>2</sub> and R<sub>3</sub> may be unsubstituted or substituted with at least one electron 25 withdrawing group or electron donating group;

B Z is O, S(O)<sub>n</sub>, NR<sub>4</sub>, PR<sub>3</sub>, mercaptoalkyl, alkylthio; or a chemical bond;

Y is hydrogen, lower alkyl, aryl, aryl lower alkyl, lower alkenyl, lower alkynyl, halo, heterocyclic or 30 heterocyclic lower alkyl, cycloalkyl, cycloalkyl lower alkyl and Y may be unsubstituted or substituted with an electron donating group or an electron withdrawing group provided that Z is a chemical bond only when Y is halo; or

1        ZY taken together is  $NR_4NR_5R_7$ ,  $NR_4OR_5$ ,  $ONR_4R_7$ ,  
~~OPR\_4R\_5, PR\_4OR\_5, SNR\_4R\_7, NR\_4SR\_7, SPR\_4R\_5, PR\_4SR\_7, NR\_4PR\_5R\_7,~~  
~~PR\_4NR\_5R\_7, NR\_4C - R\_5, SC - R\_5, NR\_4C - OR\_5, SC - OR\_5,~~

5

~~NR\_4C - NR\_5R\_6, NR\_4C - NR\_5S(O)\_nR\_6, NR\_4C - NR\_5R\_6,~~

10       $NR_4CMNR_5COR_6$  or  $C-NH_2$ ;

15       $R_4$ ,  $R_5$  and  $R_6$  are independently hydrogen, lower alkyl, aryl, aryl lower alkyl, lower alkenyl, or lower alkynyl, wherein  $R_4$ ,  $R_5$  and  $R_6$  may be unsubstituted or substituted with an electron withdrawing group or an

15      electron donating group;

15       $R_7$  is  $R_6$ ,  $COOR_8$  or  $COR_8$ ;

20       $R_8$  is hydrogen or lower alkyl or aryl lower alkyl;

20       $n$  is 1-4 and

20       $a$  is 1-3

25      M is a lower alkylene chain, and A and Q are independently O or S with the provisio that at least one of A or Q is S.

25      2. The compound according to Claim 1 wherein A is S.

30      3. The compound according to Claim 1 wherein A and Q are S.

30      4. The compound according to Claim 1 wherein one of  $R_2$  and  $R_3$  is H.

35      5. The compound according to Claim 4 wherein one of  $R_2$  and  $R_3$  is H and the other is heterocyclic.

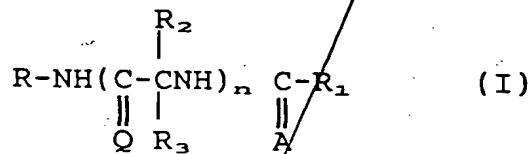
35      6. The compound according to Claim 5 wherein heterocyclic is furyl, pyrrolyl, pyrazoyl, epoxy, oxazolyl, imidazolyl, tetraxolyl, triazolyl, or oxadiazoyl.

1        7. The compound according to Claim 6 wherein heterocyclic is furyl, pyrrolyl, pyrozolyl, or pyridyl.

8. The compound according to Claim 1 wherein one of  $R_2$  and  $R_3$  is H and the other is  $Z-Y$ .

5        9. The compound according to Claim 8 wherein  $Z-Y$  is N,O-dimethylhydroxyamino, N-methylhydroxyamino N-methoxyamino, ethylamino or methylamino or hydrazino.

10        10. A compound of the formula



or the N-Oxide thereof or pharmaceutically acceptable salts thereof wherein

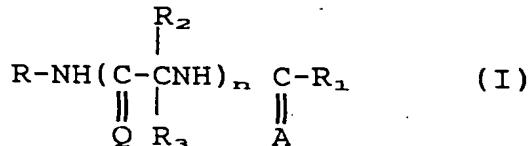
15        R is aryl, aryl lower alkyl, heterocyclic or heterocyclic lower alkyl, cycloalkyl, lower cycloalkyl, lower alkyl, wherein R is unsubstituted or is substituted with at least one electron withdrawing group or an electron donating group;

20         $R_1$  is hydrogen or lower alkyl and  $R_1$  is unsubstituted or substituted with at least one electron withdrawing substituent or at least one electron donating substituent;

25        one of  $R_2$  and  $R_3$  is hydrogen, and the other is  $SO_3^-$ .

11. The compound according to Claim 10 wherein Q and A are both 0.

12. A compound of formula



or the N-Oxide thereof or pharmaceutically acceptable salts thereof wherein

1 R is aryl, aryl lower alkyl, heterocyclic or heterocyclic lower alkyl, cycloalkyl, lower cycloalkyl, lower alkyl, wherein R is unsubstituted or is substituted with at least one electron withdrawing group or an electron 5 donating group;

R<sub>1</sub> is hydrogen or lower alkyl and R<sub>1</sub> is unsubstituted or substituted with at least one electron withdrawing substituent or at least one electron donating substituent;

10 R<sub>2</sub> and R<sub>3</sub> are independently hydrogen, alkyl, or Z-Y wherein R<sub>2</sub> and R<sub>3</sub> may be unsubstituted or substituted with at least one electron withdrawing group or electron donating group;

15 Z is S(O)<sub>a</sub>, mercaptoalkyl, or alkylthio

Y is hydrogen, lower alkyl, aryl, aryl lower alkyl, lower alkenyl, lower alkynyl, heterocyclic or heterocyclic lower alkyl, cycloalkyl, cycloalkyl lower alkyl and Y may be unsubstituted or substituted with an electron donating group or an electron withdrawing group

20 provided that when Y is halo, Z is a chemical bond; or

ZY taken together is NR<sub>4</sub>  $\begin{array}{c} \text{C} \\ \parallel \\ \text{O} \end{array}$  - NR<sub>5</sub>, NR<sub>4</sub>  $\begin{array}{c} \text{C} \\ \parallel \\ \text{O} \end{array}$  - NR<sub>5</sub>,

25 SC R<sub>5</sub>, NR<sub>4</sub>  $\begin{array}{c} \text{C} \\ \parallel \\ \text{O} \end{array}$  - OR<sub>5</sub>, NR<sub>4</sub>  $\begin{array}{c} \text{C} \\ \parallel \\ \text{S} \end{array}$  - NR<sub>5</sub>R<sub>6</sub>, NR<sub>4</sub>  $\begin{array}{c} \text{CMNR}_5 \\ \parallel \\ \text{Q} \end{array}$  - OR<sub>6</sub>

T2140X  
25 C - NH<sub>2</sub> or NR<sub>4</sub>  $\begin{array}{c} \text{CNR}_5 - \text{S}(\text{O})_a \text{R}_6 \\ \parallel \\ \text{O} \end{array}$

30 R<sub>4</sub>, R<sub>5</sub> and R<sub>6</sub> are independently hydrogen, lower alkyl, aryl, aryl lower alkyl, lower alkenyl, or lower alkynyl, wherein R<sub>4</sub>, R<sub>5</sub> and R<sub>6</sub> may be unsubstituted or substituted with an electron withdrawing group or an electron donating group;

1 n is 1-4 and

a is 1-3

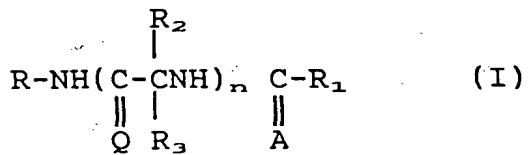
M is lower alkylene, and A and Q are

5 independently O or S with the proviso that at least one of  
R<sub>2</sub> and R<sub>3</sub> is Z-Y.

13. The compound of Claim 12 wherein A and Q are both oxygen.

14. A compound of the formula

10



or the N-Oxide thereof or pharmaceutically acceptable salts thereof wherein

15

R is aryl, aryl lower alkyl, heterocyclic or heterocyclic lower alkyl, cycloalkyl, lower cycloalkyl, lower alkyl, wherein R is unsubstituted or is substituted with at least one electron withdrawing group or an electron donating group;

20

R<sub>1</sub> is hydrogen or lower alkyl and R<sub>1</sub> is unsubstituted or substituted with at least one electron withdrawing substituent or at least one electron donating substituent;

1

R<sub>2</sub> and R<sub>3</sub> are independently hydrogen, amino, pyrrolyl, N, N-dimethylamino, morpholinyl, pyrazinyl, -NH OCH<sub>3</sub>, methylhydroxyamino, (N,O-)dimethylhydroxyamino -NH C - CH<sub>2</sub>NH - C OCH<sub>2</sub> Ph, or

30

and  $n$  is 1-4, provided that at least one of  $R_2$  and  $R_3$  is other than hydrogen.

15. The compound according to Claim 14 wherein Q and A are both O.

1 16. The compound according to any one of Claims  
1-15 wherein n is 1.

a 15 17. The compound according to any one of Claims  
1-16<sup>15</sup> wherein R is lower arylalkyl which is unsubstituted or  
5 substituted with an electron donating group or electron  
withdrawing group.

10 18. The compound according to Claim 17 wherein R  
is benzyl which is unsubstituted or substituted with an  
electron withdrawing group or electron donating group.

19. The compound according to Claim 18 wherein R  
is unsubstituted benzyl or .

a 20. The compound according to any of Claims 1-19<sup>15</sup>  
wherein R<sub>1</sub> is lower alkyl.

15 21. The compound according to Claim 20 wherein  
R<sub>1</sub> is methyl.

22. A compound selected from the group  
consisting of ethyl 2-acetamido-2-aminoacetate, ethyl 2-  
acetamido-2-(methylamino)acetate, ethyl 2-acetamido-2-(N,N-  
20 dimethylamino)acetate, ethyl 2-acetamido-2-(4-morpholine)-  
acetate, ethyl 2-acetamido-2-(N-anilino)acetate, ethyl 2-  
acetamido-2-(N-(3-pyrazolylamino))acetate, ethyl 2-  
acetamido-2-(N-hydroxyamino)acetate, ethyl 2-acetamido-2-  
(N-(N-methylhydroxyamino))acetate, ethyl 2-acetamido-2-(N-  
25 (N,O-dimethylhydroxyamino))acetate, 2-acetamido-N-benzyl-2-  
aminoacetamide, 2-acetamido-N-benzyl-2-  
(methylamino)acetamide, 2-acetamido-N-benzyl-2-  
(ethylamino)acetamide, 2-acetamido-N-benzyl-2-(N-  
anilino)acetamide, 2-acetamido-N-benzyl-2(N-(3-  
30 pyrazolylamino))acetamide, 2-acetamido-N-benzyl-2-(N,N-  
dimethylamino)acetamide, 2-acetamido-N-benzyl-2-(N-  
hydroxyamino)acetamide, 2-acetamido-N-benzyl-2-(N-  
hydroxyamino)acetamide, 2-acetamido-N-benzyl-2-(N<sup>2</sup>-  
phenylhydrazino)acetamide, 2-acetamido-N-benzyl-2-(N<sup>2</sup>-  
35 benzyloxycarbonylhydrazino)acetamide, 2-acetamido-N-benzyl-

1 2-phenoxyacetamide, 2-acetamido-N-benzyl-2-(methylmercapto)acetamide, 2-acetamido-N-benzyl-2-(ethylmercapto)acetamide, 2-acetamido-N-benzyl-2-(N-methoxyamino)acetamide, 2-acetamido-N-benzyl-2-(N-(N-methylhydroxyamino))acetamide, 2-acetamido-N-benzyl-2-(N-(N,O-dimethylhydroxyamino))acetamide, 2-acetamido-N-benzyl-2-(N-isoxazolidino)acetamide, 2-acetamido-N-benzyl-2-hydroxyacetamide, 2-acetamido-N-benzyl-2-(ethylmercapto)acetamide, 2,2-diacetamido-N-

5 10 benzylacetamide, 2-acetamido-N-benzyl-2-trifluoracetamidoacetamide, 2-acetamido-N-benzyl-2-(N,N,N-trimethylammonium)acetamide tetrafluoroborate, 2-acetamido-N-benzyl-2-(ethylmercapto)acetamide-S-oxide, 2-acetamido-N-benzyl-2-(S-ethylmercapto)acetamide-S-oxide, 2-acetamido-N-

15 15 benzyl-2-(ethanesulfonyl)acetamide, 2-acetamido-N-benzyl-2-(N,N,N-trimethylammonium)acetamide tetrafluoroborate, 2-acetamido-N-benzyl-2-(1-pyrrole)acetamide, 2-acetamido-N-benzyl-2-(1-imidazole)acetamide, 2-acetamido-N-benzyl-2-(1-pyrazole)acetamide, 2-acetamido-N-benzyl-2(1-(1,2,4-

20 20 triazole))acetamide, 2-acetamido-N-benzyl-2(1-tetrazole))acetamide,  $\alpha$ -acetamido-N-benzyl-2-pyridyl acetamide N-oxide,  $\alpha$ -acetamido-N-benzyl-2-(S-thiophenoxy)-acetamide,  $\alpha$ -acetamido-N-benzyl-2-(tetrahydrofuran)acetamide, methyl

25 25  $\alpha$ -acetamido-2-methyl-2-furanacetate,  $\alpha$ -acetamido-2-methyl-2-furanacetic acid,  $\alpha$ -acetamido-N-benzyl-2-methyl-2-furanacetamide,  $\alpha$ -thioacetamido-N-benzyl-2-furanacetamide,  $\alpha$ -thioacetamido-N-benzyl-2-furanthioacetamide,  $\alpha$ -acetamido-N-(3-pyridinylmethyl)-2-furanacetamide,  $\alpha$ -acetamido-N-(4-

30 30 pyridinylmethyl)-2-furanacetamide,  $\alpha$ -acetamido-N-(1-oxo-3-pyridinylmethyl)-2-furanacetamide,  $\alpha$ -acetamido-N-(1-oxo-4-pyridinylmethyl)-2-furanacetamide, R(-) $\alpha$ -acetamido-N-(4-fluorobenzyl)-2-furanacetamide, R(-) $\alpha$ -acetamido-N-(4-trifluoromethylbenzyl)-2-furanacetamide,

35 35 methyl[acetamido(benzylcarbamoyl)methyl]carbomate,

27

1 phenyl[acetamido(benzylcarbamoyl)methyl]carbomate, 1-[acetamido(benzylcarbamoyl)methyl]-3-methylurea], 1-[acetamido(benzylcarbamoyl)methyl]-3-phenylurea], 1-[acetamido(benzylcarbamoyl)methyl]-3-benzenesulfonylurea],  
5 1-[acetamido(benzylcarbamoyl)methyl]-3-methylthiourea], 1-[acetamido(benzylcarbamoyl)methyl]-3-phenylthiourea], N-[acetamido(benzylcarbamoyl)methyl]phthalamic acid], 2-acetamido-N-benzyl-2-(N-succinimidyl)acetamide], benzyl N-[acetamido(benzylcarbamoyl)methyl]malonamate, ethyl N-[acetamido(benzylcarbamoyl)methyl]glycinate, benzyl N-[acetamido(benzylcarbamoyl)methyl]glycinate, N-[acetamido(benzylcarbamoyl)methyl]glycine, 2-acetamide-N-benzyl-2-(1-pyrrole)acetamide, 2-acetamido-N-benzyl-2-(1-pyrazole)acetamide, 2-acetamido-N-benzyl-2-(1-imidazole)acetamide, 2-acetamido-N-benzyl-2-(1-(1,2,4-triazole))acetamide, 2-acetamido-N-benzyl-2-(1-tetrazole))acetamide,  $\alpha$ -acetamido-N-benzyl-1-(dimethylsulfamoyl)imidazole-4-acetamide,  $\alpha$ -acetamido-N-benzyl-4-imidazole acetamide,  $\alpha$ -acetamido-N-benzyl-2-imidazole acetamide,  $\alpha$ -acetamido-N-benzyl-5-(tetrazole)acetamide,  $\alpha$ -acetamido-N-benzyl-3-(1,2,4-triazole)acetamide,  $\alpha$ -acetamido-N-benzyl-2-(carboxamide oxime)acetamide,  $\alpha$ -acetamido-N-benzyl-2-(carboxamide oxime-O-acetate))-acetamide,  $\alpha$ -acetamido-N-benzyl-3-(1,2,4-oxadiazole)acetamide,  $\alpha$ -acetamido-N-benzyl-2-(thioamide)acetamide), 2-acetamido-N-benzyl-2-vinylacetamide, 2-acetamido-N-benzyl-2-epoxyacetamide, potassium 2-acetamido-N-benzylacetamide-2-sulfonate, 2-acetamido-4-pentenic acid-N-benzylamide,  $\alpha$ -acetamido-N-benzyl-2-(2-oxazole)-acetamide, and  $\alpha$ -acetamido-N-benzyl-2-(2-thiazole)-acetamide.

23. An anti-convulsant composition comprising an anti-convulsant effective amount of a compound from any one of Claims 1-15 and 22 and a pharmaceutical carrier  
35 therefor.

*VS*

1        24. An anti-convulsant composition comprising an anti-convulsant effective amount of a compound from Claim 16 and a pharmaceutical carrier therefor.

5        25. An anti-convulsant composition comprising an anti-convulsant effective amount of a compound from Claim 17 and a pharmaceutical carrier therefor.

10       26. An anti-convulsant composition comprising an anti-convulsant effective amount of a compound from Claim 18 and a pharmaceutical carrier therefor.

15       27. An anti-convulsant composition comprising an anti-convulsant effective amount of a compound of Claim 19 and a pharmaceutical carrier therefor.

20       28. An anti-convulsant composition comprising an anti-convulsant effective amount of a compound of Claim 20 and a pharmaceutical carrier therefor.

25       29. An anti-convulsant composition comprising an anti-convulsant effective amount of a compound of Claim 21 and a pharmaceutical carrier therefor.

30       30. A method of treating CNS disorders in an animal comprising administering to said animal an anti-convulsant effective amount of a compound according to any one of Claims 1-15 and 22.

35       31. A method of treating CNS disorders in an animal comprising administering to said animal an anti-convulsant effective amount of a compound of Claim 16.

40       32. A method of treating CNS disorders in an animal comprising administering to said animal an anti-convulsant effective amount of a compound of Claim 17.

45       33. A method of treating CNS disorders in an animal comprising administering to said animal an anti-convulsant effective amount of a compound of Claim 18.

50       34. A method of treating CNS disorders in an animal comprising administering to said animal an anti-convulsant effective amount of a compound of Claim 19.

1        35. A method of treating CNS disorders in an  
animal comprising administering to said animal an anti-  
convulsant effective amount of a compound of Claim 20.

5        36. A method of treating CNS disorders in an  
animal comprising administering to said animal an anti-  
convulsant effective amount of a compound of Claim 21.

10

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22*